## (19) World Intellectual Property Organization

International Bureau





(43) International Publication Date 21 July 2005 (21.07.2005)

**PCT** 

# (10) International Publication Number WO 2005/066142 A3

- (51) International Patent Classification<sup>7</sup>: C07D 487/04, A61K 31/53, 31/519
- (21) International Application Number:

PCT/JP2005/000319

- (22) International Filing Date: 6 January 2005 (06.01.2005)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data:

2004-001311 6 January 2004 (06.01.2004) JI

- (71) Applicant (for all designated States except US): TAISHO PHARMACEUTICAL CO., LTD. [JP/JP]; 24-1, Takada 3-chome, Toshima-ku, Tokyo, 1708633 (JP).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): NAKAZATO, Atsuro [JP/JP]; c/o Taisho Pharmaceutical Co., Ltd., 24-1, Takada 3-chome, Toshima-ku, Tokyo, 1708633 (JP). OKUBO, Taketoshi [JP/JP]; c/o Taisho Pharmaceutical Co., Ltd., 24-1, Takada 3-chome, Toshima-ku, Tokyo, 1708633 (JP). NOZAWA, Dai [JP/JP]; c/o Taisho Pharmaceutical Co., Ltd., 24-1, Takada 3-chome, Toshima-ku, Tokyo, 1708633 (JP). TAMITA, Tomoko [JP/JP]; c/o Taisho Pharmaceutical Co., Ltd., 24-1, Takada 3-chome, Toshima-ku, Tokyo, 1708633 (JP). KENNIS, Ludo, E.J. [BE/BE]; c/o Janssen Pharmaceutica N.V., Turnhoutseweg 30, Beerse, B-2340 (BE).

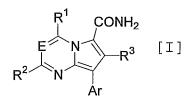
- (74) Agents: ASAMURA, Kiyoshi et al.; Room 331, New Ohtemachi Bldg., 2-1, Ohtemachi 2-chome, Chiyoda-ku, Tokyo, 1000004 (JP).
- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

### Published:

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments
- (88) Date of publication of the international search report: 13 October 2005

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

#### (54) Title: PYRROLOPYRIMIDINE AND PYRROLOTRIAZINE DERIVATIVES AS CRF RECEPTOR ANTAGONISTS



(57) Abstract: An object of the present invention is to provide an antagonist against CRF receptors which is effective as a therapeutic or prophylactic agent for diseases in which CRF is considered to be involved, such as depression, anxiety, Alzheimer's disease, Parkinson's disease, Huntington's chorea, eating disorder, hypertension, gastral diseases, drug dependence, epilepsy, cerebral infarction, cerebral ischemia, cerebral edema, cephalic external wound, inflammation, immunity-related diseases, alpecia, irritable bowel syndrome, sleep disorders, dermatitides, schizophrenia, pain, etc. A

pyrrolopyrimidine or pyrrolotriazine derivative substituted with a carbamoyl group represented by the following formula [I]: has a high affinity for CRF receptors and is effective against diseases in which CRF is considered to be involved.

## INTERNATIONAL SEARCH REPORT

nal Application No PCT/JP2005/000319

A. CLASSIFICATION OF SUBJECT MATTER IPC 7 C07D487/04 A61K31/53 A61K31/519								
According to International Patent Classification (IPC) or to both national classification and IPC								
B. FIELDS SEARCHED								
Minimum documentation searched (classification system followed by classification symbols)  IPC 7 C07D								
	ion searched other than minimum documentation to the extent that s							
Electronic data base consulted during the international search (name of data base and, where practical, search terms used)  EPO-Internal, WPI Data, CHEM ABS Data								
C. DOCUMENTS CONSIDERED TO BE RELEVANT								
Category °	Citation of document, with indication, where appropriate, of the rel	evant passages	Relevant to claim No.					
Υ	WO 98/35967 A (NEUROCRINE BIOSCIE INC; MCCARTHY, JAMES, R) 20 August 1998 (1998-08-20) cited in the application the whole document	NCES,	1-9					
Y	WO 98/08847 A (PFIZER INC; CHEN, LIANG) 5 March 1998 (1998-03-05) the whole document	YUHPYNG,	1-9					
Y	EP 0 729 758 A (PFIZER INC) 4 September 1996 (1996-09-04) the whole document		1-9					
Further documents are listed in the continuation of box C								
° Special categories of cited documents  "T" later document published after the international filing date								
'A' document defining the general state of the art which is not considered to be of particular relevance		or priority date and not in conflict vicited to understand the principle o	with the application but					
NCA continued a superior de la contraction de la		invention  *X* document of particular relevance; the						
"L" document which may throw doubts on priority claim(s) or		cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone  "Y" document of particular relevance, the claimed invention cannot be considered to involve an inventive step when the						
*O* document referring to an oral disclosure, use, exhibition or other means		document is combined with one of ments, such combination being ob in the art	r more other such docu-					
*P* document published prior to the international filing date but later than the priority date claimed		in the art  *&* document member of the same patent family						
Date of the actual completion of the international search		Date of mailing of the international	search report					
6	July 2005	02/08/2005						
Name and mailing address of the ISA European Patent Office, P.B 5818 Patentlaan 2		Authorized officer						
NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx 31 651 epo nl, Fax (+31-70) 340-3016		Von Daacke, A	,					

# **INTERNATIONAL SEARCH REPORT**

Formation on patent family members

Internal Application No PCT/JP2005/000319

Patent document cited in search report	Publication date		Patent family member(s)	Publication date
WO 9835967 A	20-08-1998	AU CA EP JP WO US	6279598 A 2281525 A1 0970082 A2 2001511813 T 9835967 A2 6352990 B1 2002049207 A1	08-09-1998 20-08-1998 12-01-2000 14-08-2001 20-08-1998 05-03-2002 25-04-2002
WO 9808847 A	05-03-1998	APU ABG BRA CZA PRUOD JPP KNO PLK TW US A	762 A 735401 B2 3456197 A 103189 A 9711970 A 2263566 A1 1227554 A 9900681 A3 2769 B1 0923582 A1 970454 A1 9903965 A2 9808847 A1 18249 A 2000502723 T 3621706 B2 2005047930 A 2000035934 A 990927 A 333302 A 10977 A 332040 A1 23399 A3 9900389 T2 575573 B 2002151713 A1 2005009823 A1 9707687 A	13-09-1999 05-07-2001 19-03-1998 30-09-1999 24-08-1999 05-03-1998 01-09-1999 17-11-1999 29-08-2002 23-06-1999 31-08-1998 28-03-2000 05-03-1998 19-03-1998 19-03-1998 07-03-2000 16-02-2005 24-02-2005 24-02-2005 26-06-2000 26-02-1999 25-08-2000 02-11-2001 16-08-1999 14-08-2000 21-06-2000 11-02-2004 17-10-2002 13-01-2005 01-03-1999
EP 0729758 A	04-09-1996	AU CA CN EP IL JP	715380 B2 4585996 A 2170700 A1 1141297 A 0729758 A2 117229 A 8259567 A 286103 A	03-02-2000 12-09-1996 03-09-1996 ,C 29-01-1997 04-09-1996 12-02-2003 08-10-1996 25-08-2000